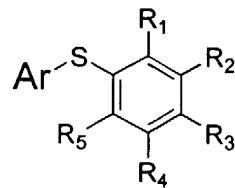


(19)

**CLAIMS**

What is claimed is:

5      1. A compound of formula I

**I**

or a pharmaceutically-acceptable salt or prodrug thereof,

10

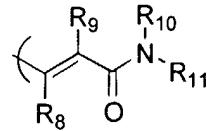
wherein  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_4$ , and  $\text{R}_5$  are independently selected from

- a. hydrogen,
- b. halogen,
- c. alkyl,
- d. haloalkyl,
- e. alkoxy,
- f. cyano,
- g. nitro,
- h. carboxaldehyde, and

with the proviso that at least one of R<sub>1</sub> or R<sub>3</sub> is a “*cis*-cinnamide” or a “*trans*-cinnamide”, defined as



5

“*cis*-cinnamide”“*trans*-cinnamide”,

wherein R<sub>8</sub> and R<sub>9</sub> are independently selected from

- a. hydrogen, and
- b. alkyl,
- c. carboxy alkyl,
- d. alkylaminocarbonyl alkyl, and
- e. dialkylaminocarbonyl alkyl,

15 and R<sub>10</sub> and R<sub>11</sub> are independently selected from

- a. hydrogen,
- b. alkyl,
- c. cycloalkyl,
- d. alkoxy carbonyl alkyl,
- e. hydroxy alkyl,

- f. substituted aryl,
- g. heterocyclyl,
- h. heterocyclylalkyl,
- i. heterocyclylamino,
- 5 j. substituted heterocyclyl, and
- k. substituted heterocyclylalkyl,

or where  $\text{NR}_{10}\text{R}_{11}$  is heterocyclyl or substituted heterocyclyl, where  
substituents are independently selected from

10

- 1) alkyl,
- 2) alkoxy,
- 3) alkoxyalkyl,
- 4) cycloalkyl,
- 15 5) aryl,
- 6) heterocyclyl,
- 7) heterocyclcarbonyl,
- 8) heterocyclalkylaminocarbonyl,
- 9) hydroxy,
- 20 10) hydroxyalkyl,
- 11) hydroxyalkoxyalkyl,
- 12) carboxy,

DRAFT 56274400

- 13) carboxyalkyl,  
14) carboxycarbonyl,  
15) carboxaldehyde,  
16) alkoxycarbonyl,  
5 17) arylalkoxycarbonyl,  
18) aminoalkyl,  
19) aminoalkanoyl,  
20) carboxamido,  
21) alkoxycarbonylalkyl,  
10 22) carboxamidoalkyl,  
23) cyano,  
24) tetrazolyl,  
25) substituted tetrazolyl,  
26) alkanoyl,  
15 27) hydroxyalkanoyl,  
28) alkanoyloxy,  
29) alkanoylamino,  
30) alkanoyloxyalkyl,  
31) alkanoylaminoalkyl,  
20 32) sulfonate,  
33) alkylsulfonyl,  
34) alkylsulfonylaminocarbonyl,

35) arylsulfonylaminocarbonyl, and

36) heterocyclsulfonylaminocarbonyl,

and wherein **Ar** is a substituted aryl or substituted heteroaryl group, where

5 substitutions are independently selected from

- a. hydrogen,
- b. halogen,
- c. alkyl,
- 10 d. aryl,
- e. haloalkyl,
- f. hydroxy,
- g. alkoxy,
- h. alkoxyalkyl,
- 15 i. alkoxycarbonyl,
- j. alkoxyalkoxy,
- k. hydroxyalkyl,
- l. aminoalkyl,
- m. aminocarbonyl,
- 20 n. alkyl(alkoxycarbonylalkyl)aminoalkyl,
- o. heterocycll,
- p. substituted heterocycll,

00 PEG 2000 Glycerol

- q. heterocyclalkyl,
- r. substituted heterocyclalkyl,
- s. carboxaldehyde,
- t. carboxaldehyde hydrazone,
- 5 u. carboxamide,
- v. alkoxycarbonylalkyl,
- w. carboxy,
- x. carboxyalkyl,
- y. carboxyalkoxy,
- 10 z. carboxythioalkoxy,
- aa. carboxycycloalkoxy,
- bb. thioalkyl,
- cc. hydroxycarbonylalkyl (carboxyalkyl),
- dd. hydroxyalkylaminocarbonyl,
- 15 ee. cyano,
- ff. amino,
- gg. heterocyclalkylamino,
- hh. carboxyalkylamino,
- ii. heterocyclalkylaminocarbonyl, and
- 20 jj. "*trans*-cinnamide",

or a pharmaceutically-acceptable salt or prodrug thereof.

- DRAFTING STYLING GUIDE
2. A compound according to Claim 1 wherein R<sub>1</sub> is a “*cis*-cinnamide” or a “*trans*-cinnamide”, and R<sub>3</sub> is hydrogen.
- 5    3. A compound according to Claim 1 wherein R<sub>3</sub> is a “*cis*-cinnamide” or a “*trans*-cinnamide”, and R<sub>1</sub> is hydrogen.
4.    A compound according to Claim 1 wherein R<sub>3</sub> is a “*cis*-cinnamide” or a “*trans*-cinnamide”, and R<sub>1</sub>, R<sub>8</sub>, and R<sub>9</sub> are hydrogen.
- 10    5. A compound according to Claim 4 wherein R<sub>3</sub> is a “*cis*-cinnamide”.
6. A compound according to Claim 4 wherein R<sub>3</sub> is a “*trans*-cinnamide”.
- 15    7. A compound according to Claim 1 wherein R<sub>3</sub> is a “*cis*-cinnamide” or a “*trans*-cinnamide”, R<sub>1</sub>, R<sub>2</sub>, and R<sub>4</sub> are each independently hydrogen or alkyl; and R<sub>5</sub> is selected from halogen, haloalkyl, and nitro.
- 20    8. A compound according to Claim 4 wherein **A<sub>r</sub>** is substituted aryl or substituted heteroaryl.

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9. A compound according to Claim 4 wherein R<sub>10</sub> and R<sub>11</sub> are each independently selected from hydrogen, alkyl, cycloalkyl, alkoxycarbonylalkyl, hydroxyalkyl, and heterocyclalkyl.
- 5    10. A compound according to Claim 4 wherein NR<sub>10</sub>R<sub>11</sub> is heterocycl or substituted heterocycl.
11. A compound according to Claim 8 wherein Ar is selected from substituted phenyl, 1,3-benzimidazol-2-one, 1,4-benzodioxane, 1,3-benzodioxole, 1-  
10    benzopyr-2-en-4-one, indole, isatin, 1,3-quinazolin-4-one, and quinoline.
12. A compound according to Claim 1 selected from the group consisting of:  
(2,4-Dichlorophenyl)[2-(E-((6-hydroxyhexylamino)carbonyl)ethenyl)phenyl]  
sulfide;
- 15    (2,4-Dichlorophenyl)[2-(E-((3-(1-imidazolyl)propylamino)carbonyl)ethenyl)phenyl]  
sulfide;  
(2,4-Dichlorophenyl)[2-chloro-4-(E-((2 hydroxyethylamino)carbonyl)ethenyl)phenyl]  
sulfide;
- 20    (2,4-Dichlorophenyl)[2-chloro-4-(E-((6 hydroxyhexylamino)carbonyl)ethenyl)phenyl]  
sulfide;  
(2,4-Dichlorophenyl)[2-chloro-4-(E-((bis-(2-hydroxyethyl)amino)carbonyl)ethenyl)  
phenyl] sulfide;

- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((3-(1-pyrrolidin-2-only)propylamino)carbonyl)ethenyl] phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;
- 5 (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-methylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-(2-pyridyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 10 (2-(Hydroxymethyl)phenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-(2-hydroxyethyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 15 (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-(2-hydroxyethoxyethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-((3-(hydroxymethyl)piperidin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 20 (2-Bromophenyl)[2-chloro-4-(*E*-((2-(hydroxymethyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

- (2-Bromophenyl)[2-chloro-4-(*E*-((3-acetamidopyrrolidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-((4-hydroxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 5 (2-Bromophenyl)[2-chloro-4-(*E*-((piperidin-1-yl)carbonyl) ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 10 (2-Bromophenyl)[2-chloro-4-(*E*-((4-acetylhomopiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-((thiomorpholin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-((4-(1-benzimidazol-2-only)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 15 (2-Bromophenyl)[2-chloro-4-(*E*-((2-ethoxyisoquinoliny)carbonyl)ethenyl)phenyl] sulfide;
- (2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((1-morpholiny)carbonyl)ethenyl)phenyl] sulfide;
- 20 (2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((2-(1-morpholiny)ethylamino)carbonyl)

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- ethenyl)phenyl] sulfide;
- (2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((4-phenylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((3-(1-pyrrolidin-2-onyl)propylamino)carbonyl) ethenyl)phenyl] sulfide;
- 5      (2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((cyclopropylamino)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-acetyl

10     (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((3-(1-pyrrolidin-2-onyl)propylamino)carbonyl)ethenyl)phenyl] sulfide;

(2,3-Dichlorophenyl)[2-nitro-4-(*E*-((4-acetyl

15     (4-Bromophenyl)[2-nitro-4-(*E*-((4-acetyl

(4-Methylphenyl)[2-nitro-4-(*E*-((4-acetyl

(2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

20     (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(2-furoylcarbonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

- (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(methanesulfonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(diethylaminocarbonylmethyl)piperazin-1-yl)carbonyl) ethenyl)phenyl] sulfide;
- 5 (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(diethylaminocarbonyl)piperazin-1-yl)carbonyl) ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(*tert*-butoxycarbonylmethyl)piperazin-1-yl)carbonyl) ethenyl)phenyl] sulfide;
- 10 (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(carboxycarbonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(carboxymethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Methylphenyl)[2-nitro-4-(*E*-((4-acetyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 15 (2-Chlorophenyl)[2-nitro-4-(*E*-((4-acetyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Aminophenyl)[2-nitro-4-(*E*-((4-acetyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Hydroxymethylphenyl)[2-nitro-4-(*E*-((4-acetyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] 20 phenyl]sulfide;
- (2-Ethylphenyl)[2-nitro-4-(*E*-((4-acetyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

POTENTIAL HAZARDS

- (2-*iso*-Propylphenyl)[2-nitro-4-( *E*-(4-acetyl

(2-*tert*-Butylphenyl)[2-nitro-4-( *E*-(4-acetyl

5 (2-Chlorophenyl)[2-chloro-4-( *E*-(4-acetyl

sulfide;

(2-(1-Morpholinylmethyl)phenyl)[2-chloro-4-( *E*-(1-morpholinyl)carbonyl) ethenyl) phenyl] sulfide;

10 (2-(4-(1,3-Benzodioxolyl-5-methyl)piperazin-1-ylmethyl)phenyl)[2-chloro-4-( *E*-(1-morpholinyl)carbonyl) ethenyl)phenyl] sulfide;

(2-(4-(*iso*-Propylaminocarbonylmethyl)piperazin-1-ylmethyl)phenyl)[2-chloro-4-( *E*-(1-morpholinyl)carbonyl) ethenyl)phenyl] sulfide;

(2-((*N*-Ethoxycarbonylmethyl-*N*-methyl)aminomethyl)phenyl)[2-chloro-4-( *E*-(1-morpholinyl)carbonyl) ethenyl)phenyl] sulfide;

15 (2-Formylphenyl)[2-chloro-4-( *E*-(1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;

(2-(4-FormylE-(1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;

(2-( *E*-(1-Morpholinyl)carbonyl)ethenyl)phenyl)[2-chloro-4-( *E*-(1-morpholinyl) carbonyl)ethenyl)phenyl] sulfide;

20 (2-Formylphenyl)[2-nitro-4-( *E*-(4-acetyl

(2-Formylphenyl)[2-nitro-4-( *E*-(4-acetyl

DRAFT--2022-03-22-00

- (2-Formylphenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide,  
N,N-dimethyl hydrazone;
- (2-((3-(1-Morpholinyl)propyl)-1-amino)phenyl)[2-chloro-4-(*E*-((1-  
morpholinyl)carbonyl) ethenyl)phenyl] sulfide;
- 5 (2,4-Dichlorophenyl)[2-bromo-4-(*E*-((3-(1-pyrrolidin-2-only)propylamino)carbonyl)  
ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-formyl-4-(*E*-((1-morpholinyl)carbonyl)ethenyl)phenyl]  
sulfide;
- 10 (2-Chloro-6-formylphenyl)[2-chloro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)ethenyl)  
phenyl] sulfide;
- (2-Cyanophenyl)[2-chloro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)  
ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-cyano-4-(*E*-((morpholin-1-yl)carbonyl)  
ethenyl) phenyl] sulfide;
- 15 (2-Bromophenyl)[2-nitro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)  
ethenyl) phenyl] sulfide;
- (2-(Pyrrolidin-1-yl)phenyl)[2-chloro-4-(*E*-((morpholin-1-yl)carbonyl)  
ethenyl) phenyl] sulfide;
- (2-Methoxyphenyl)-[2-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide;
- 20 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carbomethoxypiperazin-1-yl)carbonyl)  
ethenyl) phenyl] sulfide;

- (2-Methylphenyl)[2-nitro-4-(*E*-(3-carboxamido-4-carbobenzoxypiperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(2-carbomethoxy-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-(2-carboxy-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(4-acetylpirazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 10 (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(morpholin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(cyclobutylamino)carbonyl)ethenyl] phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(cyclopentylamino)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(5-hydroxypent-1-ylamino)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-carbomethoxy-4-acetylpirazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 20 (2-Biphenyl)[2-chloro-4-(*E*-(morpholin-1-yl)carbonyl)ethenyl] phenyl] sulfide;

DRAFT - 5/6/2010

- (3,4-Dimethylphenyl)[2-nitro-4-(*E*-(4-acetyl

(2-Bromophenyl)[2-trifluoromethyl-4-(*E*-(4-acetyl

5 (5-Indolyl)[2-chloro-4-(*E*-(4-acetyl

(5-Benzodioxolyl)[2-chloro-4-(*E*-(4-acetyl

(2-Isopropylphenyl)[2-nitro-4-(*E*-(2-carbomethoxypiperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;

10 (2,3-Dimethoxyphenyl)-[2-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

(2-Fluorophenyl)[2-nitro-4-(*E*-(4-acetyl

15 (2-Bromophenyl)[2-trifluoromethyl-4-(*E*-(4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;

(2-(Pyrrolidin-1-yl)phenyl)[2-trifluoromethyl-4-(*E*-(4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;

20 (3-Carboxamidophenyl)[2-nitro-4-(*E*-(4-acetyl

(3-(Hydroxymethyl)phenyl)[2-nitro-4-(*E*-(4-acetyl

- Phenyl[2-trifluoromethyl-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-((2-carbomethoxy-4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(pyridine-4-methylaminocarbonyl)-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide;
- (2-Methoxyphenyl)[2-nitro-4-(*E*-((4-acetyl

10 (2-(Azetidin-1-yl)phenyl)[2-trifluoromethyl-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-(Piperidin-1-yl)phenyl)[2-trifluoromethyl-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(3-Chloro-2-formylphenyl)[2-chloro-4-(*E*-((4-acetyl

15 (2-Trifluoromethylphenyl)[2-trifluoromethyl-4-(*E*-((4-acetyl

(3-Bromophenyl)[2-trifluoromethyl-4-(*E*-((4-acetyl

20 (3,5-Dimethylphenyl)[2-trifluoromethyl-4-(*E*-((4-acetyl

- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-dimethylaminocarbonyl)-4-(pyridine-4-carbonyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-dimethylaminocarbonyl-4-carbomethoxypiperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-dimethylaminocarbonyl-4-acetyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(1-morpholinocarbonyl)-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(pyridine-4-methylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 10 (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(benzylaminocarbonyl)-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(dimethylaminocarbonyl)-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(5S-hydroxymethyl-pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-(3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl)
- 20 ethenyl)phenyl]sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-(N-methyl-N-(3-(pyrrolidin-2-on-1-yl)prop-1-yl)amino)carbonyl) ethenyl)phenyl]sulfide;

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- (2-[2-Methoxy]ethoxyphenyl)-[2-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(morpholinocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-methoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(4-(pyridine-4-carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(pyridine-3-methylaminocarbonyl)-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(pyridine-2-methylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(pyridine-3-methylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (4-Hydroxyphenyl)[2-nitro-4-(*E*-((4-acetyl)piperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
- (3,5-Dichlorophenyl)[2-nitro-4-(*E*-((4-acetyl)piperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
- 20 (2-Bromophenyl)[2-chloro-4-(*E*-((3-(5*S*-acetoxyethyl-pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

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(2-Bromophenyl)[2-chloro-4-(E-((3-(5S-methoxymethyl-pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl]phenyl]sulfide;

(2-Bromophenyl)[2-chloro-4-(E-((3-(4R-hydroxymethyl-pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

- 5 Phenyl[2-nitro-4-(*E*-((4-acetyl(2-Dimethylaminophenyl)[2-nitro-4-(*E*-((4-acetylethenyl) phenyl] sulfide;  
(3-((2-Hydroxyethyl)aminocarbonyl)phenyl)[2-nitro-4-(*E*-((4-acetylyl)carbonyl)ethenyl) phenyl] sulfide;

10 (3-((3-(1-Imidazolyl)propyl)aminocarbonyl)phenyl)[2-nitro-4-(*E*-((4-  
acetyl(3-((2-(1-Morpholinyl)ethyl)aminocarbonyl)phenyl)[2-nitro-4-(*E*-((4-  
acetyl(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-hydroxymethyl-4-*tert*-  
15 butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;  
(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-formylsulfide;  
(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-hydroxymethyl-4-*tert*-  
butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

20 (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(3-ethoxycarbonylpiperidin-1-yl)carbonyl]ethenyl)  
phenyl]sulfide;

(3- Aminophenyl)[2-nitro-4-(*E*-((4-acetyl

(4-Aminophenyl)[2-nitro-4-(*E*-((4-acetyl

iperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

- 5 (2,4-Dimethylphenyl)[2- nitro-4-( *E*-((4-acetyl

(2,5-Dimethylphenyl)[2- nitro-4-( *E*-((4-acetyl

(4-Methoxyphenyl)[2-nitro-4-( *E*-((4-acetyl

10 (3-Chlorophenyl)[2-nitro-4-( *E*-((4-acetyl

(2-Chloro, 4,5-diaminophenyl)[2-chloro-4-( *E*-((4-acetyl

15 (3,4-Diaminophenyl)[2-chloro-4-( *E*-((4-acetyl

(6-Chlorobenzimidazol-2-on-5-yl)[2-chloro-4-( *E*-((4-acetyl

(1-Methylindol-7-yl)[2-chloro-4-( *E*-((4-acetyl

20 (2-Hydroxy, 4-aminophenyl)[2-chloro-4-( *E*-((4-acetyl

- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-methylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-(pyridine-2-carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-(pyridine-3-carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carbomethoxy-4-methoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carboxy-4-methoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carbomethoxy-4-methylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(3-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(3-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- 15 (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(3-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(2-ethoxycarbonylpiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((1-(*tert*-butoxycarbonyl)-4-
- 20 hydroxypyrrolidin-3-ylamino)carbonyl)ethenyl) phenyl] sulfide;
- (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(2-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

- (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((pyrrol-3-in-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;
- 5 (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpirerazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((4-(ethoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((4-(2-furylcarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(3-ethoxycarbonylpiperidin-1-yl)carbonyl]ethenyl) phenyl]sulfide;
- (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- 15 (Benzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetylpirerazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-ethoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-isopropoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 20 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-isobutoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-((1-propen-2-oxy)carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-propionylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-carboxamidopiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-methylaminocarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-(pyrimidin-2-yl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-hydroxyacetyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-(pyrazine-2-carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(((2-carboxypyrrrol-3-in-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-hydroxymethyl-4-methyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(((2-carboxypyrrrol-3-in-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 20 (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(((2-hydroxymethyl)pyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-methylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-cyclopropylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboxamidopiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carbomethoxy-4-oxopiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3,5-dimethylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (1-Ethylindol-7-yl)[2-chloro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (3-[2-Methoxy]ethoxyphenyl)-[2-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- 15 (2-Bromophenyl)[2-chloro-4-(*E*-((4,4'-*S*-dioxythiomorpholin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-(N-carbomethoxymethyl-N-(3-(pyrrolidin-2-on-1-yl)prop-1-yl)amino)carbonyl) ethenyl)phenyl]sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-((4-*S*-oxythiomorpholin-1-yl)-2-pyrrolidinone)carbonyl) ethenyl)phenyl]sulfide;
- 20 (2-Methoxy-5-chlorophenyl)[2-nitro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-acetoxymethyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3,5-dimethyl-4acetyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 5 (1-Methylindol-5-yl)[2-chloro-4-(*E*-((4-acetyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-acetyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (Benzodioxan-6-yl)[2-nitro-4-(*E*-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl)ethenyl] phenyl] sulfide;
- 10 (Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl] phenyl] sulfide;
- (Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl] phenyl] sulfide;
- 15 (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*Z*-((4-acetyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((6-methylpyrid-2-ylamino)carbonyl)ethenyl] phenyl] sulfide;
- (2-Methyl-3-chlorophenyl)[2-nitro-4-(*E*-((4-acetyl)piperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 20 (Benzodioxan-6-yl)[2-nitro-4-(*E*-((3-carboxamidopiperidin-1-yl) carbonyl)ethenyl] phenyl] sulfide;
- (Benzodioxan-6-yl)[2-nitro-4-(*E*-((3-carboxamidopiperidin-1-yl) carbonyl)ethenyl] phenyl] sulfide;

- (Benzodioxan-6-yl)[2-nitro-4-(*E*-((2-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-carboxamidopiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 5 (Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-*tert*-butoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((*syn*-3,5-dimethylmorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((*anti*-3,5-dimethylmorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboethoxypiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-isopropoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-isopropoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(dimethylaminocarbonyl)-4-methylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carbomethoxy-4-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 20 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-hydroxymethyl-4-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((2-carbomethoxy-4-(methoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((2-carbomethoxy-4-methyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((2-carboxy-4-(methoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (Indol-6-yl)[2-chloro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (1-Ethyl,3-(dimethylaminomethyl)indol-7-yl)[2-chloro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (5-Ethoxybenzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-Ethyl-4-bromophenyl)[2-nitro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-nitro-4-(*E*-((2-carboxy piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-carboxymethyl piperazin-1-yl)
- 15 carbonyl)ethenyl) phenyl] sulfide;
- (3-Morpholinophenyl)[2-nitro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (5-Ethoxybenzodioxan-8-yl)[2-chloro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 20 (5-Chloro-8-ethoxyquinolin-7-yl)[2-chloro-4-(*E*-((4-acetyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboethoxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-(((3-ethanesulfonylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(((3-(4-methylpiperazine)sulfonylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-*p*-toluenesulfonylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-methyl-4-acetyl

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-methyl-4-acetyl

(2-Hydroxyphenyl)-[2-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide

(1-(Carboxymethyl)indol-5-yl)[2-chloro-4-(*E*-((4-acetyl

15 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-acetyl

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(1-pyrrolidin-2-onyl)prop-1-ylamino)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(1-pyrrolidin-2-onyl)prop-1-ylamino)carbonyl)ethenyl) phenyl] sulfide;

20 (3-(2-Morpholinoethylamino)phenyl)[2-trifluoromethyl-4-(*E*-((4-acetyl

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- (2-Pyrrolidin-1-ylphenyl)[2-nitro-4-(*E*-((4-acetyl

(3-Bromophenyl)[2-nitro-4-(*E*-((3-carboethoxypyrrolidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

5 (3-Bromophenyl)[2-nitro-4-(*E*-((4-carboethoxypyrrolidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-(Hydroxymethyl)-benzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetyl

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

10 (3-(Dimethylaminomethyl)indol-5-yl)[2-chloro-4-(*E*-((4-acetyl

(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carboethoxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-carboethoxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

20 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-(((4-*p*-toluenesulfonylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-carboxy-4-hydroxypiperidin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(3-carboethoxypiperidin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 5 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(2-carboethoxypiperidin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (Benzodioxan-6-yl)[2-nitro-4-(*E*-(4-carboxypiperidin-1-yl) carbonyl)ethenyl] phenyl] sulfide;
- 10 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(3-carboxypyrrolidin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(4-carboethoxypiperidin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 15 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(2-carbomethoxy-4-*tert*-butoxycarbonylpiperazin-1-yl) carbonyl)ethenyl] phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(2-carbomethoxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl] phenyl] sulfide;
- 20 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(2-carbomethoxypiperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Methyl-3-(carboethoxymethyl)indol-5-yl)[2-trifluoromethyl-4-(*E*-(morpholin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (1-(2-Methoxyethyl)indol-5-yl)[2-chloro-4-(*E*-(4-acetylpirperazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;

- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-acetoxymethyl-4-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(dimethylaminocarbonyl)-4-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-cyanomorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboethoxymorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(tetrazol-5-yl)morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((2-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 15 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-carbomethoxypiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-aza-6,9-diooxaspiro[5.4]decan-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoro-4-(*E*-((4-(benzimidazolon-1-yl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 20 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-(methylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

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- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(3-carbomethoxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-carboxymorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(2-carboxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-(pyrrolidin-1-yl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-aza-6,9-diooxaspiro[5.4]decan-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((2-(dimethylaminomethyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((piperidin-1-ylamino)carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(3-carboxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (2-(Dimethylaminocarbonyl)-benzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetylpirperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;;
- 20 (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(2-(methoxymethyl)tetrazol-5-yl) piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide

- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(1-(methoxymethyl)tetrazol-5-yl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (1-Methylindol-5-yl)[2-chloro-4-(*E*-((3-(1-pyrrolidin-2-onyl)propylamino)carbonyl)ethenyl) phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(tetrazol-5-yl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (1-Methylindol-5-yl)[2-chloro-4-(*E*-((3-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide
- (1-Methylindol-5-yl)[2-chloro-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (1-Methylindol-5-yl)[2-chloro-4-(*E*-((4-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (1-Methylindol-5-yl)[2-chloro-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((2-(1-methylpyrrolidin-2-yl)ethylamino)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-(pyrrolidin-1-yl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-sulfopiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 20 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(3-((ethanesulfonylamino)carbonyl)piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(3-((*p*-toluenesulfonylamino)carbonyl)piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 5 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(4-((ethanesulfonylamino)carbonyl)piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(2(tetrazol-5-yl)morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(2-butyl, 5-(tetrazol-5-yl)morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-(and 3-)(Hydroxymethyl)-benzodioxan-6-yl)[2-nitro-4-(*E*-(4-acetylpirazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-(and 3-)(Hydroxymethyl)-benzodioxan-6-yl)[2-nitro-4-(*E*-(3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;
- 15 (2-(and 3-)(Hydroxymethyl)-benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;
- (3-Hydroxymethyl)-benzodioxan-6-yl)[2-nitro-4-(*E*-(3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;
- (Benzodioxan-6-yl)[2-chloro-4-(*E*-(3-carboxypiperidin-1-yl)carbonyl)ethenyl)
- 20 phenyl]
- (2-(and 3-)(Aminomethyl)-benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(methylaminocarbonyl)morpholin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(hydroxymethyl)morpholin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(acetoxymethyl)morpholin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(aminomethyl)morpholin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(acetamidomethyl)morpholin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 10 (Benzodioxan-6-yl)[2-chloro-4-(*E*-(3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl]phenyl]sulfide;
- (Benzodioxan-6-yl)[2-chloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 15 (Benzodioxan-6-yl)[2-chloro-4-(*E*-((2-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide
- (2-Methoxyphenyl)-[2,3-dichloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- (2-Methoxyphenyl)-[2,3-dimethyl-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- 20 (2-Isopropylphenyl)[2-nitro-4-(*E*-((indol-5-ylamino)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((indol-5-ylamino)carbonyl)ethenyl) phenyl]

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- (Benzodioxan-6-yl)[2-chloro-4-(*E*-((3-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-chloro-4-(*E*-((3-(tetrazol-5-yl)piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 5 (Benzodioxan-6-yl)[2-chloro-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-chloro-4-(*E*-((2-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 10 (Benzodioxan-6-yl)[2-chloro-4-(*E*-((3-(tetrazol-5-yl)morpholin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-chloro-4-(*E*-((4-(methylaminocarbonyl)piperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (2-Methoxyphenyl)-[2,3-dichloro-4(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl) phenyl] sulfide;
- 15 (Benzodioxan-6-yl)[2-chloro-4-(*E*-((4-(tetrazol-5-yl)piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (2-Methoxyphenyl)-[3-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-oxopiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 20 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-*R*-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

CONTINUATION SHEET

- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-*R*-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;
- 5 (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-acetylpirerazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-carboethoxypiperidin-1-yl)
- 10 carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((3-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((3-(1-pyrrolidin-2-onyl)propylamino) carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((4-acetylpirerazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 20 (2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

- (2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((3-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 5 (1-Methylindol-5-yl)[2,3-dichloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (1-Methylindol-5-yl)[2,3-dichloro-4-(*E*-((3-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 10 (1-Methylindol-5-yl)[2,3-dichloro-4-(*E*-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (1-Methylindol-5-yl)[2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Ethoxyphenyl)-[2,3-dichloro-4(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl) phenyl] sulfide;
- (2-Ethoxyphenyl)-[2,3-dichloro-4(*E*-[(3-carboxypiperidin-1-yl)carbonyl]ethenyl) phenyl] sulfide;
- 20 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboethoxypyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboxypyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (2-Isopropylphenyl)[2,3-difluoro-4-(*E*-(3-carboethoxypiperidin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2,3-difluoro-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2,3-difluoro-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-ethoxycarbonylpyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-carboxypyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-Methoxyphenyl)[2-chloro-3-trifluoromethyl-4-(*E*-((4-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Methoxyphenyl)[2-chloro-3-trifluoromethyl-4-(*E*-((4-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Methoxyphenyl)[2-chloro-3-trifluoromethyl-4-(*E*-((morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl) [ 4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl)naphthyl] sulfide;
- (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((4-(spiro-hydantoin-5-yl)-piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 20 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(4-(2-(2-hydroxyethoxy)ethyl)piperazin-1-yl)carbonyl)ethenyl]phenyl] sulfide;

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- (2-Methoxyphenyl)[ 2,3-dichloro-4-( *E*-(4-ethylpiperazin-1-yl)carbonyl)ethenyl]phenyl] sulfide;
- (2-Isopropylphenyl)[ 2,3-dichloro-4-( *E*-(4-(2-hydroxyethoxy)ethyl)piperazin-1-yl)carbonyl)ethenyl]phenyl] sulfide;
- 5 (Benzodioxan-6yl)[2,3-bis(trifluoromethyl)-4-(*E*-(4-carboxypiperidin-1-yl)carbonyl)ethenyl]phenyl]sulfide;
- (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(4-(carboxymethylamino)carbonyl-piperidin-1-yl)carbonyl)ethenyl]phenyl] sulfide;
- (2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-(4-carboxymethylpiperazin-1-yl)
- 10 carbonyl)ethenyl]phenyl]sulfide;
- (2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-(4-N-(2-hydroxyethyl)piperazin-1-yl)carbonyl)ethenyl]phenyl]sulfide;
- (1-Methylindol-5-yl) [2,3-dichloro-4-( *E*-(4-(carbo-2,3-dihydroxypropylamino)piperidin-1-yl)carbonyl)ethenyl]phenyl] sulfide;
- 15 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(4-(2,3-dihydroxypropionyl)piperazin-1-yl)carbonyl)ethenyl]phenyl] sulfide;
- (2-Methoxyphenyl) [2,3-dichloro-4-( *E*-(4-(2,3-dihydroxy-3-
- carboxypropionyl)piperazin-1-yl)carbonyl)ethenyl]phenyl] sulfide;
- (1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-(4-(carboxymethylamino)carbonyl-
- 20 piperidin-1-yl)carbonyl)ethenyl]phenyl] sulfide;
- (1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-(4-sulfopiperidin-1-yl)carbonyl)ethenyl]phenyl] sulfide;

- (1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-(4-methylhomopiperazin-1-ylcarbonyl)ethenyl)phenyl] sulfide;
- (1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-(4-tetrohydrofuroylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 5 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((4-amino-4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Methoxyphenyl)[2,3-dichloro-4-((4-furoylpiperazin-1-yl)carbonyl)ethenyl)phenyl]
- sulfide;
- (1-Methylindol-5-yl) [2,3-dichloro-4-( *E*-(4-(carbo-3-sulfopropylamino)piperadin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 10 (2-Methoxyphenyl)[ 2,3-dichloro-4-( *E*-(4-acetylamino-4-carboxypiperidin-1-ylcarbonyl)ethenyl)phenyl] sulfide;
- (2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
- 15 (2-Methoxyphenyl) 5-[8-(*E*-((4-(aminocarbonyl)piperidin-1-yl)carbonyl)ethenyl)quinolinyl]sulfide;
- (2-Methoxyphenyl) [2-trifluoromethyl-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
- (1-Methylindol-5-yl) [ 2,3-dichloro-4-( *E/Z*-((1*S*,4*S*)-2,5-diazabycyclo(2,2,1)heptan-2-ylcarbonyl)ethenyl)-2,3-dichlorophenyl] sulfide;
- 20 (1-Methylindol-5-yl) [2,3-dichloro-4-( *E*-(4-hydroxy-3-carboxypiperadin-1-ylcarbonyl)ethenyl)phenyl] sulfide;;

(1-Methylindol-5-yl) [ 2,3-dichloro-4-(*E*-(S-oxothiomorpholin-1-ylcarbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(4-sulfophenylamino)carbonyl)ethenyl]phenyl] sulfide;

- 5 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((4-carboxyphenylamino)carbonyl)ethenyl)phenyl] sulfide;  
[3-(4-Morpholino)phenyl] [2,3-dichloro-4-(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;  
[3-(4-Morpholino)phenyl] [2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;  
10 (2-Methoxyphenyl)[2,3-bis(trifluoromethyl)-4-(*E*-((4-phenylcarboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;  
(2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(((4-hydroxylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;  
15 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((N-carboxymethyl-N-phenylamino)carbonyl)ethenyl)phenyl] sulfide;

- (2-Methoxyphenyl) [3-chloro-6-hydroxy-4-(E-((3-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
- (2-Methoxyphenyl) [2,3-dichloro-4-(E-((1-(2-phenyl-1-carboxyethyl)amino)carbonyl)piperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
- 5 (2-Methoxyphenyl) [2,3-dichloro-4-(E-((1-(2-hydroxy-1-carboxyethyl)amino)carbonyl)piperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
- (3-(1-(3-Carboxypiperidinyl)phenyl)[2,3-dichloro-4-(E-((1,2,5,6-tetrahydropyridin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
- (3-(4-Pyrrolidin-1-yl)piperidin-1-yl)phenyl) [2,3-dichloro-4-(E-(((3-(2-pyrrolidinon-1-yl)propylamino)carbonyl)ethenyl)phenyl]sulfide;
- [3-(4-(Spiro-2,2-dioxolanyl)piperidin-1-yl)phenyl] [2,3-dichloro-4-(E-((4-morpholinyl)carbonyl)ethenyl)phenyl]sulfide;
- [3-(3-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- 15 (2-(2-Carboxy)ethenyl)phenyl) [2,3-dichloro-4-(E-((4-morpholinyl)carbonyl)ethenyl)phenyl]sulfide;
- [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-[(1,2,3,6-tetrahydropyridine)-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- [3-(4-Carboxypiperidinyl)phenyl] [2,3-dichloro-4-(E-[(4-
- 20 morpholinyl)carbonyl]ethenyl)phenyl] sulfide;
- [2-(4-Acetylpirerazin-1-yl)phenyl] [2,3-dichloro-4-(E-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

- 3-(3-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-[(4-morpholinyl)carbonyl]ethenyl)phenyl] sulfide;
- [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-[(4-dimethylaminosulfamoyl)piperazin-1-yl]carbonyl]ethenyl)phenyl] sulfide;
- 5    (2-Methoxyphenyl)[2,3-bis(trifluoromethyl)-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
- (2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-((2-carboxypyrrolidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
- [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-
- 10   ((trifluoromethylsulfonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Methoxyphenyl)[2,3-dichloro-4-(*E*-(piperidin-1-ylcarbonyl)ethenyl)phenyl]
- sulfide;
- (2-Hydroxyphenyl) [2,3-dichloro-4-(*E*-((4-
- morpholino)carbonyl)ethenyl)phenyl]sulfide;
- 15   (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(((4-
- carboxyphenyl)methyl)amino)carbonyl)ethenyl)phenyl]sulfide;
- (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(((4-pyrrolidin-1-yl)piperidin-1-
- yl)carbonyl)ethenyl)phenyl]sulfide;
- (2-Hydroxyphenyl)[2,3-dichloro-4-(*E*-((4- carboxypiperidin-1-
- 20   yl)carbonyl)ethenyl)phenyl]sulfide;
- [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-
- ((methylsulfonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

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- (2-Aminophenyl) [2,3-dichloro-4-(*E*-((4-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;
- (3-(4-carboxypiperidin-1-yl)phenyl)[2,3-dichloro-4-(*E*-((S-oxothiomorpholin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 5 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-hydroxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Glycoxyphenyl) [2,3-dichloro-4-(*E*-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
- (2-(4-Butyroxy)phenyl)[2,3-dichloro-4-(*E*-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
- 10 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-( *E*-((4-hydroxyethylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-furoylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 15 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((pyrrolidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((diethylaminocarbonyl)ethenyl)phenyl] sulfide;
- (3-(4-Carboxypiperidin-1-yl)phenyl) [2,3-dichloro-4-(*E*-((4-ethylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 20 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-aminocarbonyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

- [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-((E-((4-(ethoxyethyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- [3-((4-Carboxymethyl)piperazin-1-yl)phenyl] [(2,3-dichloro-4-(E-(4-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;
- 5 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-((E-((4-morpholino)carbonyl)ethenyl)phenyl)sulfide;
- (3-Hydroxyphenyl) [2,3-dichloro-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
- [3-(4-Butyroxy)phenyl] [2,3-dichloro-4-(E-((4-
- 10 morpholino)carbonyl)ethenyl)phenyl]sulfide;
- (2-Hydroxyphenyl) [2,3-bis(trifluoromethyl)-4-((E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
- (3-Hydroxyphenyl)[ 2,3-bis(trifluoromethyl)-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
- 15 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-ditrifluoromethyl-4-(E-((4-hydroxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-ditrifluoromethyl-4-(E-((1,2,5,6-tetrahydropyridin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

- [2-((4-Carboxy)butyloxy)phenyl] [2,3-dichloro-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
- (2-Glycoxyphenyl) [ 2,3-bis(trifluoromethyl)-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
- 5 (2-(4-Butyroxy)phenyl)[ 2,3-bis(trifluoromethyl)-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
- [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-ditrifluoromethyl-4-(E-((bis-(2-ethoxyethyl)amino)carbonyl)ethenyl)phenyl] sulfide;
- [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-bis-(trifluoromethyl)-4-(E-((bis-(2-hydroxypropyl)amino)carbonyl)ethenyl)phenyl] sulfide;
- 10 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-bis-(trifluoromethyl)-4-(E-((piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (3-(4-Butyroxy)phenyl)[ 2,3-bis(trifluoromethyl)-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
- 15 [2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-[(3-(2-pyrrolidinon-1-yl)propylaminocarbonyl)ethenyl)phenyl] sulfide;
- [2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-(E-[(3-(2-pyrrolidinon-1-yl)propylaminocarbonyl)ethenyl)phenyl] sulfide;
- [2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-((4-(2-hydroxyethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 20 [2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-(E-((1,2,5,6-tetrahydropyridin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

- [2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-((4-(2-hydroxyethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- [2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-((4-(2-hydroxyethoxy)ethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide; and
- 5 (3-(3-Propioxy)phenyl) [2,3-dichloro-4-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide.

13. A compound according to Claim 1 selected from the group consisting of:

- 10 (2-Formylphenyl)[2-nitro-4-((E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Ethoxyphenyl)-[2-chloro-4(E-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide;
- (2-Isopropylphenyl)[2-nitro-4-((E-((3-dimethylaminocarbonyl-4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2-nitro-4-((E-((4-methoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Ethoxyphenyl)[2-trifluoromethyl-4-((E-((2-carboxy-4-(methoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Ethyl-4-bromophenyl)[2-nitro-4-((E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 20 (3-Morpholinophenyl)[2-nitro-4-((E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

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- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-carboethoxypiperidin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-(3-(1-pyrrolidin-2-onyl)prop-1-ylamino) carbonyl)ethenyl] phenyl] sulfide;
- 5 (2-(Hydroxymethyl)-benzodioxan-6-yl)[2-chloro-4-(*E*-(4-acetylpirerazin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(3-carboxypyrrolidin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(4-carboethoxypiperidin-1-yl) carbonyl)ethenyl] phenyl] sulfide;
- 10 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(2-carbomethoxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl] phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(2-carbomethoxypiperazin-1-yl) carbonyl)ethenyl] phenyl] sulfide;
- 15 (Benzodioxan-6-yl)[2-chloro-4-(*E*-(4-(methylaminocarbonyl)piperazin-1-yl) carbonyl)ethenyl] phenyl] sulfide;
- (2-Methoxyphenyl)-[2,3-dichloro-4(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(3-*R*-carboethoxypiperidin-1-yl)carbonyl)ethenyl] phenyl] sulfide;
- 20 (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-(3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl]phenyl]sulfide;

- (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-acetyl

(Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

5 (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((3-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

10 (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((4-acetyl

(2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

15 (2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((3-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

20 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((4-(carboxymethylamino)carbonyl-piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-((4-carboxymethyl

(2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-N-(2-hydroxyethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide.

**Insert new compounds here**

5    14. A compound according to Claim 1 wherein **Ar** is selected from the group consisting of methoxyphenyl and isopropylphenyl.

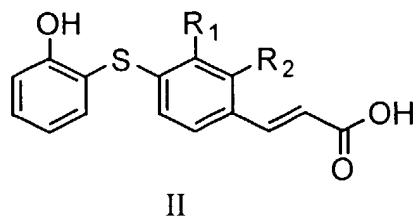
15. A compound according to Claim 1 wherein **Ar** is benzodioxan or substituted benzodioxan.

10    16. A compound according to Claim 1 wherein  $R_3$  is a "trans-cinnamide"; and **Ar** is selected from 1,3-benzimidazol-2-one, 1,4-benzodioxane, 1,3-benzodioxole, 1-benzopyr-2-en-4-one, indole, isatin, phenyl, 1,3-quinazolin-4-one, and quinoline.

15    17. A compound according to Claim 1 wherein  $R_{10}$  and  $R_{11}$  are independently selected from hydrogen, alkyl, cycloalkyl, alkoxycarbonylalkyl, hydroxyalkyl, and heterocyclalkyl.

20    18. A compound according to Claim 1 wherein  $NR_{10}R_{11}$  is heterocyclyl or substituted heterocyclyl.

19. A pharmaceutical composition comprising a compound of Claim 1 in a pharmaceutically-acceptable carrier.
20. A method of inhibiting inflammation comprising the administration of a  
5 compound of Claim 1 to a mammal in need of treatment.
21. A method of inhibiting inflammation comprising the administration of a  
composition of Claim 12 to a mammal in need of treatment.
- 10 22. A method of suppressing immune response comprising the administration of a  
compound of Claim 1 to a mammal in need of treatment.
23. A method of suppressing immune response comprising the administration of a  
composition of Claim 12 to a mammal in need of treatment.
- 15 24. A compound of formula II

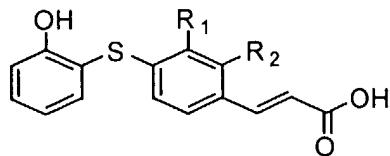


wherein R<sub>1</sub> and R<sub>2</sub> are independently selected from the group consisting of

- i. hydrogen,
  - j. halogen,
  - 5 k. alkyl,
  - l. haloalkyl,
  - m. alkoxy,
  - n. cyano,
  - o. nitro, and

10 p. carboxaldehyde

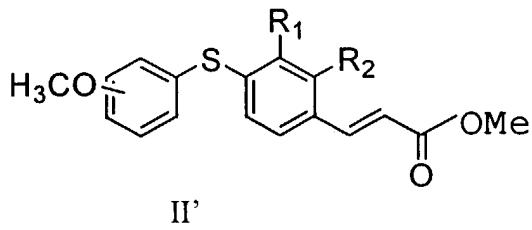
## 25. A process for preparing a compound of formula II



II

which comprises:

- 5           a) reacting a compound of formula II'



II'

with lithium hydroxide, and

10

- b) cleaving the resulting methyl ether.

26. The compound of Claim 1 with the proviso that when three of R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, and R<sub>5</sub>

15           are hydrogen, then the remaining R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, or R<sub>5</sub> is not carboxyl, 5-tetrazolyl,  
hydroxymethyl or carboxyl derivatized in the form of a pharmaceutically  
acceptable ester.

### **Abstract**

The present invention relates to novel cinnamide compounds that are useful  
for treating inflammatory and immune diseases, to pharmaceutical compositions  
5 containing these compounds, and to methods of inhibiting inflammation or  
suppressing immune response in a mammal.

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